

**REPLACED BY
ART 34 AMDT**

2

Compositions comprising uscharin or salts thereof have been reported to be usable for treatment of medical conditions related to cell proliferation. For example, US patent No 6,342,490 and WO- 9852562 both describe compositions comprising uscharin or salts thereof and the use of uscharin to combat cell proliferation, e.g. in the treatment of cancer.

5

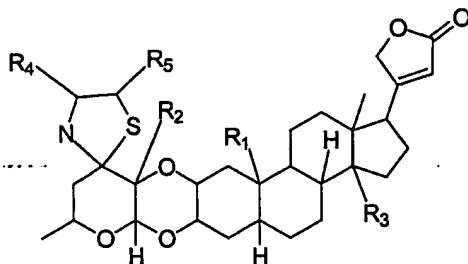
Some of the known cardenolide glycosides, f.e. calotropin and uzarigenin, are cytotoxic for cell cultures but are not mentioned to show *in vivo* tumor-inhibiting activity. Also uscharin has been shown to have some cytotoxic activity on tumor cells *in vitro*. In addition, uscharin was also described to have *in vivo* tumor-inhibiting effects, as for instance described in US patent 10 No 6,342,490. Derivatives of uscharin have not been reported so far to be useful for medical applications.

It is a general object of the present invention to provide novel cardenolide glycosides, which have a cytotoxic activity. It is another general object of the present invention to provide novel 15 cardenolide glycosides, which can be exploited in medical applications.

SUMMARY

In a first aspect, the present invention relates to a compound of the formula I or a 20 pharmaceutically acceptable salt thereof,

formula I



from the group indicated in above; wherein R² and R³ are hydroxyl and wherein R⁴ and R⁵ are hydrogen or alkyl.

In another preferred embodiment, the invention relates to an uscharin derivative having the formula I, wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, 5 alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated above; wherein R² and R³ are hydroxyl and wherein R⁴ and R⁵ are hydrogen.

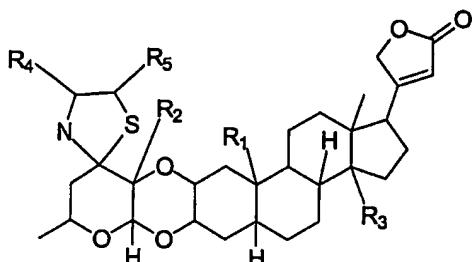
10 Another further embodiment of the invention relates to a compound of formula I, wherein R¹ is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxcarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, 15 aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, 20 Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxy carbonyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, CR⁶=NR⁷, CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, 25 hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R¹ is optionally substituted by one or more substituents independently selected from the group as indicated above,

30 wherein R² and R³ are hydroxyl and wherein R⁴ is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R⁵ is hydrogen.

According to this embodiment, this compound may also be represented by the formula III:

CLAIMS

1. A compound of the formula I or a pharmaceutically acceptable salt thereof,
formula I



5

wherein R¹ is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹, Het¹alkyl, Het¹oxyalkyl, Het¹aryl, Het¹aralkyl, Het¹cycloalkyl, Het¹carbonyl, Het¹alkoxycarbonyl, Het¹alkylthiocarbonyl, Het¹oxycarbonyl, Het¹thiocarbonyl, Het¹alkanoyl, Het¹aralkanoyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylothioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹aroyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²alkyl; Het²oxyalkyl, Het²alkyloxyalkyl, Het²aralkyl, Het²carbonyl, Het²oxycarbonyl, Het²thiocarbonyl, Het²alkanoyl, Het²alkylthiocarbonyl, Het²alkoxycarbonyl, Het²aralkanoyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aroyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, CR⁶=NR⁷ or 25 CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R² and R³ are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxylalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy,

5 Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹oxycarbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het¹aroyl, Het²oxy, Het²alkyloxy; Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²carbonyloxy, Het²aryloxy, Het²aryloxyalkyloxy,

10 wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aroyloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR⁸, SR⁸, SO₂NR⁸R⁹, SO₂N(OH)R⁸, CN, CR⁸=NR⁹, S(O)R⁸, SO₂R⁸, CR⁸=N(OR⁹), N₃, NO₂, NR⁸R⁹, N(OH)R⁸, C(O)R⁸, C(S)R⁸, CO₂R⁸, C(O)SR⁸, C(O)NR⁸R⁹, C(S)NR⁸R⁹, C(O)N(OH)R⁸, C(S)N(OH)R⁸, NR⁸C(O)R⁹, NR⁸C(S)R⁹, N(OH)C(O)R⁹, N(OH)C(S)R⁹, NR⁸CO₂R⁸, NR⁸C(O)NR⁹R¹⁰, and NR⁸C(S)NR⁹R¹⁰, N(OH)CO₂R⁸, NR⁸C(O)SR⁹, N(OH)C(O)NR⁸R⁹, N(OH)C(S)NR⁸R⁹, NR⁸C(O)N(OH)R⁹, NR⁸C(S)N(OH)R⁹, NR⁸SO₂R⁸, 25 NHSO₂NR⁸R⁹, NR⁸SO₂NHR⁹, P(O)(OR⁸)(OR⁹),

with t being an integer between 1 and 2, and R⁸ R⁹ and R¹⁰ being each independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

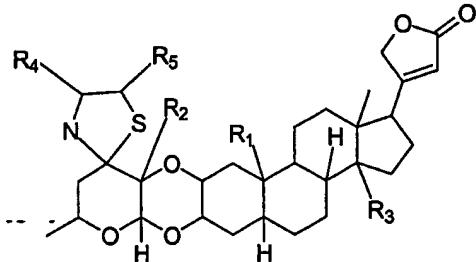
30 wherein R⁴ is selected from the group comprising hydrogen, oxo, is replaced by a double bond between the N atom and the C carbon atom on the N-containing heterocyclic ring of formula I; hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alkylthio, alkylamino, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl,

cycloalkyl, cycloalkyloxy, cycloalkylthio, cycloalkylamino, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyloxy, haloalkylthio, haloalkylamino, hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het¹, Het¹alkyl, Het¹oxy, Het¹oxyalkyl, Het¹aryl, 5 Het¹aralkyl, Het¹cycloalkyl, Het¹aryloxyalkyl, Het¹aroyl, Het², Het²oxy, Het²alkyl; Het²oxyalkyl, Het²aralkyl, Het²cycloalkyl, Het²aryl, Het²alkanoyl, Het²aralkanoyl, Het²aroyl, Het²aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents 10 independently selected from the group comprising alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, 15 arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR¹¹, SR¹¹, SO₂NR¹¹R¹², SO₂N(OH)R¹¹, CN, CR¹¹=NR¹², S(O)R¹¹, SO₂R¹¹, CR¹¹=N(OR¹²), N₃, NO₂, NR¹¹R¹², N(OH)R¹¹, C(O)R¹¹, C(S)R¹¹, CO₂R¹¹, C(O)SR¹¹, C(O)NR¹¹R¹², C(S)NR¹¹R¹², C(O)N(OH)R¹², C(S)N(OH)R¹¹, NR¹¹C(O)R¹², NR¹¹C(S)R¹², 20 N(OH)C(O)R¹², N(OH)C(S)R¹¹, NR¹¹CO₂R¹², NR¹¹C(O)NR¹²R¹³, and NR¹¹C(S)NR¹²R¹³, N(OH)CO₂R¹¹, NR¹¹C(O)SR¹², N(OH)C(O)NR¹¹R¹², N(OH)C(S)NR¹¹R¹², NR¹¹C(O)N(OH)R¹², NR¹¹C(S)N(OH)R¹², NR¹¹SO₂R¹², NHSO₂NR¹¹R¹², NR¹¹SO₂NHR¹², P(O)(OR¹¹)(OR¹²), 25 wherein t is an integer between 1 and 2, R¹¹, R¹² and R¹³ are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl; and wherein R⁵ is selected from the group comprising hydrogen, oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het¹, Het¹alkyl, Het¹oxy, 30 Het¹oxyalkyl, Het¹aryl, Het¹aralkyl, Het¹cycloalkyl, Het¹aryloxyalkyl, Het¹aroyl, Het², Het²oxy, Het²alkyl; Het²oxyalkyl, Het²aralkyl, Het²cycloalkyl, Het²aryl, Het²alkanoyl, Het²aralkanoyl, Het²aroyl, Het²aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl,

aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, 5 arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arythioalkoxy, arythioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arythioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR¹¹, SR¹¹, SO₂NR¹¹R¹², 10 SO₂N(OH)R¹¹, CN, CR¹¹=NR¹², S(O)R¹¹, SO₂R¹¹, CR¹¹=N(OR¹²), N₃, NO₂, NR¹¹R¹², N(OH)R¹¹, C(O)R¹¹, C(S)R¹¹, CO₂R¹¹, C(O)SR¹¹, C(O)NR¹¹R¹², C(S)NR¹¹R¹², C(O)N(OH)R¹², C(S)N(OH)R¹¹, NR¹¹C(O)R¹², NR¹¹C(S)R¹², N(OH)C(O)R¹², N(OH)C(S)R¹¹, NR¹¹CO₂R¹², NR¹¹C(O)NR¹²R¹³, and NR¹¹C(S)NR¹²R¹³, N(OH)CO₂R¹¹, NR¹¹C(O)SR¹², N(OH)C(O)NR¹¹R¹², N(OH)C(S)NR¹¹R¹², NR¹¹C(O)N(OH)R¹², NR¹¹C(S)N(OH)R¹², NR¹¹SO₂R¹², NHSO₂NR¹¹R¹², 15 NR¹¹SO₂NHR¹², P(O)(OR¹¹)(OR¹²), wherein t is an integer between 1 and 2, R¹¹, R¹² and R¹³ are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl.

2. A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt thereof,

formula I



wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, 25 cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arythiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arythioalkyl, haloalkyl,

hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹, Het¹alkyl, Het¹oxyalkyl, Het¹aryl, Het¹aralkyl, Het¹cycloalkyl, Het¹carbonyl, Het¹alkoxycarbonyl, Het¹alkylthiocarbonyl, Het¹oxycarbonyl, Het¹thiocarbonyl, Het¹alkanoyl, Het¹aralkanoyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl,

5 Het¹arylthioalkyl, Het¹aryloxy carbonyl, Het¹aralkoxycarbonyl, Het¹aroyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl,

10 Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²alkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aralkyl, Het²carbonyl, Het²oxycarbonyl, Het²thiocarbonyl, Het²alkanoyl, Het²alkylthiocarbonyl, Het²alkoxycarbonyl, Het²aralkanoyl, Het²aralkoxycarbonyl,

15 Het²aryloxy carbonyl, Het²aroyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl,

20 Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, CR⁶=NR⁷ or CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl,

25 aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R² and R³ are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroloxy, aryloxycarbonylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹oxycarbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het¹aroyl, Het²oxy, Het²alkyloxy; Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²carbonyloxy, Het²aryloxy,

25 Het²aryloxyalkyloxy,

wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)₂, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryoxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio,

alkylamino, cycloalkyl, cycloalkylalkyl, Het^1 , Het^2 , Het^1alkyl , Het^2alkyl , Het^1amino , Het^2amino , $\text{Het}^1\text{alkylamino}$, $\text{Het}^2\text{alkylamino}$, Het^1thio , Het^2thio , $\text{Het}^1\text{alkylthio}$, $\text{Het}^2\text{alkylthio}$, Het^1oxy and Het^2oxy , OR^8 , SR^8 , $\text{SO}_2\text{NR}^8\text{R}^9$, $\text{SO}_2\text{N}(\text{OH})\text{R}^8$, CN , $\text{CR}^8=\text{NR}^8$, $\text{S}(\text{O})\text{R}^8$, SO_2R^8 , $\text{CR}^8=\text{N}(\text{OR}^8)$, N_3 , NO_2 , NR^8R^9 , $\text{N}(\text{OH})\text{R}^8$, $\text{C}(\text{O})\text{R}^8$, $\text{C}(\text{S})\text{R}^8$, CO_2R^8 , $\text{C}(\text{O})\text{SR}^8$, $\text{C}(\text{O})\text{NR}^8\text{R}^9$, $\text{C}(\text{S})\text{NR}^8\text{R}^9$,
5 $\text{C}(\text{O})\text{N}(\text{OH})\text{R}^8$, $\text{C}(\text{S})\text{N}(\text{OH})\text{R}^8$, $\text{NR}^8\text{C}(\text{O})\text{R}^8$, $\text{NR}^8\text{C}(\text{S})\text{R}^8$, $\text{N}(\text{OH})\text{C}(\text{O})\text{R}^8$, $\text{N}(\text{OH})\text{C}(\text{S})\text{R}^8$, $\text{NR}^8\text{CO}_2\text{R}^8$, $\text{NR}^8\text{C}(\text{O})\text{NR}^9\text{R}^{10}$, and $\text{NR}^8\text{C}(\text{S})\text{NR}^9\text{R}^{10}$, $\text{N}(\text{OH})\text{CO}_2\text{R}^8$, $\text{NR}^8\text{C}(\text{O})\text{SR}^9$, $\text{N}(\text{OH})\text{C}(\text{O})\text{NR}^8\text{R}^9$, $\text{N}(\text{OH})\text{C}(\text{S})\text{NR}^8\text{R}^9$, $\text{NR}^8\text{C}(\text{O})\text{N}(\text{OH})\text{R}^8$, $\text{NR}^8\text{C}(\text{S})\text{N}(\text{OH})\text{R}^8$, $\text{NR}^8\text{SO}_2\text{R}^8$, $\text{NHSO}_2\text{NR}^8\text{R}^8$, $\text{NR}^8\text{SO}_2\text{NHR}^9$, $\text{P}(\text{O})(\text{OR}^8)(\text{OR}^8)$,

with t being an integer between 1 and 2, and R^8 R^9 and R^{10} being each independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1 , Het^1alkyl , Het^1aryl , alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;
wherein R^4 is oxo and R^5 is hydrogen or alkyl.

15 3. A compound according to claim 1,

wherein R^1 is selected from the group comprising hydrogen, alkyl, hydroxyalkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, 20 arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, $\text{Het}^1\text{oxyalkyl}$, $\text{Het}^1\text{alkoxycarbonyl}$, $\text{Het}^1\text{oxycarbonyl}$, $\text{Het}^1\text{aryloxyalkyl}$, $\text{Het}^1\text{alkyloxyalkyl}$, $\text{Het}^1\text{arylthioalkyl}$, $\text{Het}^1\text{aryloxycarbonyl}$, $\text{Het}^1\text{aralkoxycarbonyl}$, $\text{Het}^1\text{oxyalkylcarbonyl}$, $\text{Het}^1\text{alkyloxyalkylcarbonyl}$, $\text{Het}^1\text{aryloxyalkylcarbonyl}$, $\text{Het}^1\text{carbonyloxyalkyl}$, $\text{Het}^1\text{alkylcarbonyloxyalkyl}$,
25 $\text{Het}^1\text{aralkylcarbonyloxyalkyl}$, $\text{Het}^2\text{oxyalkyl}$, $\text{Het}^2\text{alkyloxyalkyl}$, $\text{Het}^2\text{oxycarbonyl}$, $\text{Het}^2\text{alkoxycarbonyl}$, $\text{Het}^2\text{aralkoxycarbonyl}$, $\text{Het}^2\text{aryloxycarbonyl}$, $\text{Het}^2\text{aryloxyalkyl}$, $\text{Het}^2\text{arylthioalkyl}$, $\text{Het}^2\text{oxyalkylcarbonyl}$, $\text{Het}^2\text{alkyloxyalkylcarbonyl}$, $\text{Het}^2\text{aryloxyalkylcarbonyl}$, $\text{Het}^2\text{carbonyloxyalkyl}$, $\text{Het}^2\text{alkylcarbonyloxyalkyl}$, $\text{Het}^2\text{aralkylcarbonyloxyalkyl}$, $\text{CR}^8=\text{NR}^7$, $\text{CR}^8=\text{N}(\text{OR}^7)$,

30 with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1 , Het^1alkyl , Het^1aryl , alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R² and R³ are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, 5 Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het²oxy, Het²alkyloxy; Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²carbonyloxy, Het²aryloxy, Het²aryloxyalkyloxy, wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and 10 wherein R⁴ is selected from the group comprising, oxo, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl and R⁵ is hydrogen, oxo, hydroxyl, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl.

4. A compound according to claim 1 or 2,

15 wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, 20 formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylothioalkyl, Het¹aryloxy carbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, 25 Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl, Het²arylothioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, CR⁶=NR⁷, CR⁶=N(OR⁷),

30 with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R² and R³ are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy,

silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het²oxy, Het²alkyloxy; Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, 5 Het²aralkanoyloxy, Het²carbonyloxy, Het²aryloxy, Het²aryloxyalkyloxy, wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and wherein R⁴ is oxo and R⁵ is hydrogen or alkyl.

10 5. A compound according to claim 1, 2 or 4, wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹aryloxyalkyl, 15 Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, CR⁶=NR⁷, CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, 20 hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R² and R³ are independently selected from the group comprising hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het²carbonyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, 25 wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and wherein R⁴ is oxo and R⁵ is hydrogen or alkyl.

30 6. A compound according to any of claims 1, 2, 4 to 5, wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, optionally substituted by one or more

substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl and wherein R⁴ is oxo and R⁵ is hydrogen.

7. A compound according to any of claims 1, 2, 4 to 6, wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, 5 arylalkenyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl, R⁴ is oxo and R⁵ is hydrogen.

10 8. A compound according to any of claims 1, 2, 4 to 7, wherein R¹ is selected from the group comprising alkyl, carboxyl, formyl; wherein R² and R³ are hydroxyl, and wherein R⁴ is oxo and R⁵ is hydrogen.

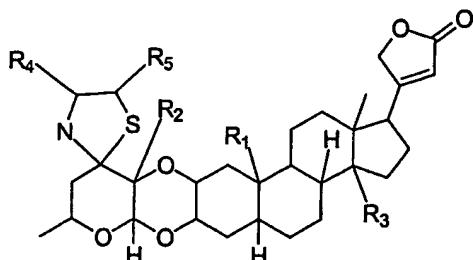
15 9. A compound according to claim 8, wherein R¹ is formyl, R² and R³ are hydroxyl R⁴ is oxo and R⁵ is hydrogen.

10. A compound according to claim 1 or 3,
wherein R¹ is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, 20 alkyloxyalkyl, hydroxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylothioalkyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylothioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, 25 Het²aryloxyalkylcarbonyl, CR⁶=NR⁷, CR⁶=N(OR⁷),
with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;
wherein R² and R³ are independently selected from the group comprising hydroxyl, 30 alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het²carbonyloxy, Het²alkanoyloxy, Het²aralkanoyloxy,
wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R⁴ is oxo, hydroxyalkyl, alkyl, alkenyl, arylcarbonylaryl, alkylcarbonylalkyl and R⁵ is hydrogen or alkyl.

11. A compound according to any of claims 1, 3 or 10, wherein R¹ is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl and wherein R⁴ is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R⁵ is hydrogen.
12. A compound according to any of claims 1, 3, 10 to 11, wherein R¹ is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl, R⁴ is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R⁵ is hydrogen.
13. A compound according to any of claims 1, 3, 10 to 12, wherein R¹ is selected from the group comprising alkyl, hydroxyalkyl, carboxyl, formyl; wherein R² and R³ are hydroxyl, and wherein R⁴ is arylcarbonylalkyl and R⁵ is hydrogen.
14. A compound according to claim 13, wherein R¹ is hydroxyalkyl, R² and R³ are hydroxyl, R⁴ is arylcarbonylalkyl and R⁵ is hydrogen.
15. A compound according to claim 14, wherein R¹ is hydroxymethylene, R² and R³ are hydroxyl, R⁴ is phenylcarbonylmethylene and R⁵ is hydrogen.
16. A compound according to claim 1 having the formula I or a pharmaceutically acceptable salt or ester thereof,

formula I



wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, 5 arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylothioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, 10 Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, CR⁶=NR⁷, 15 CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R² and R³ have the same definition as in claim 1; 20 wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and wherein R⁴ and R⁵ are hydrogen or alkyl.

17. A compound according to claim 16, 25 wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylothioalkyl, aralkanoyl,

5 aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, CR⁶=NR⁷,

10 5 CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

15 10 wherein R² and R³ have the same definition as in claim 1;

15 10 wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group as indicated in claims 1, and

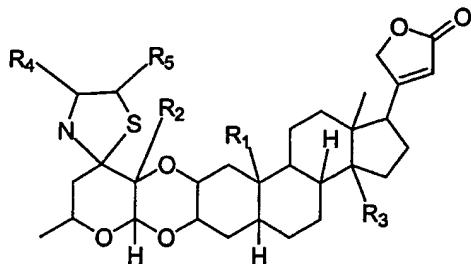
20 15 wherein R⁴ and R⁵ are hydrogen or alkyl.

18. A compound according to claim 16 or 17, wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, silyloxyalkyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl and wherein R⁴ and R⁵ are hydrogen or alkyl.

19. A compound according to any of claims 16 to 18, wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl and wherein R⁴ and R⁵ are hydrogen.

20. A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt or ester thereof,

formula I



wherein R^1 is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, 5 arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxy carbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, 10 Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxy carbonyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, CR⁶=NR⁷, 15 CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R¹ is optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and 20 wherein R² and R³ are hydroxyl and wherein R⁴ is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R⁵ is hydrogen. 25 21. A compound according to claim 20, wherein R¹ is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl,

Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl and wherein R⁴ and R⁵ are hydrogen.

- 5 22. A compound according to claim 21, wherein R¹ has the same definition as in claim 20, wherein R² and R³ are hydroxyl; wherein R⁴ is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R⁵ is hydrogen.
- 10 23. Compound of formula I or a pharmaceutically acceptable salt or ester thereof, wherein R¹, R², R³, R⁴ and R⁵ are selected as in Table A.
- 15 24. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to any of claims 1-23.
- 20 25. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 9.
- 25 26. A compound according to any of claims 1 to 23 for use as a medicament.
27. Use of a compound according to any of claims 1 to 23 for the preparation of a medicament for treating cancer.
28. Use of a compound according to any of claims 1 to 23 in the treatment of cancer.
29. Method of treating cancer comprising administrating to an individual in need of such treatment a pharmaceutical composition according to claim 24 or 25.